



*Bel le corresp. to 97097/32875*

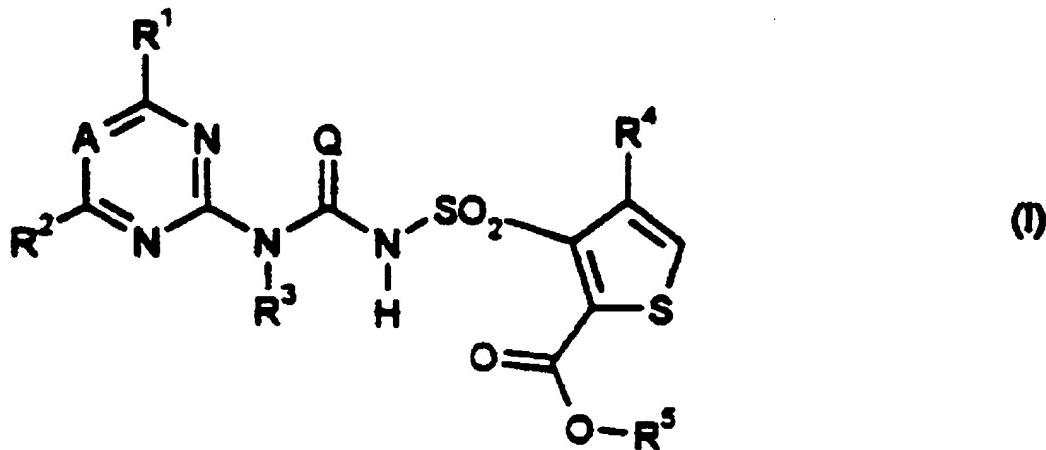
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**(54) THIENYLSULFONYL(THIO)UREES SUBSTITUEES UTILISEES  
COMME HERBICIDES**

**(54) SUBSTITUTED THIENYL SULPHONYL (THIO) UREAS AS  
HERBICIDES**



(57) L'invention concerne de nouvelles thiénylsulfonyl(thio)urées substituées de formule générale (I), dans laquelle A représente azote ou un groupement CH; Q représente oxygène ou soufre; R<sup>1</sup> représente hydrogène, halogène ou l'un des radicaux suivants éventuellement substitués: alkyle, alcoxy, alkylthio, alkylamino, dialkylamino, cycloalkyle, cycloalkyloxy, aryloxy ou hétérocyclxy; R<sup>2</sup> représente hydrogène, halogène ou l'un des radicaux suivants éventuellement substitués: alkyle, alcoxy, alkylthio, alkylamino, dialkylamino, cycloalkyle,

(57) The invention relates to novel substituted thiényl sulphonyl(thio) ureas of the general formula (I) in which: A is nitrogen or a CH group; Q is oxygen or sulphur, R<sup>1</sup> is hydrogen, halogen or possibly substituted alkyl, alkoxy, alkyl thio, alkyl amino, dialkyl amino, cycloalkyl, cycloalkoxy, aryloxy or heterocyclyloxy; R<sup>2</sup> is hydrogen, halogen or possibly substituted alkyl, alkoxy, alkyl thio, alkyl amino, dialkyl amino, cycloalkyl, cycloalkoxy, aryloxy or heterocyclyloxy; R<sup>3</sup> is hydrogen or possibly substituted alkyl; R<sup>4</sup> is possibly



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cycloalkyloxy, aryloxy ou hétérocyclloxy; R<sup>3</sup> représente hydrogène ou alkyle éventuellement substitué; R<sup>4</sup> représente alkyle éventuellement substitué; et R<sup>5</sup> représente hydrogène ou l'un des radicaux suivants éventuellement substitués: alkyle, alcényle, alcynyle, cycloalkyle, cycloalkylalkyle ou hétérocyclyle. L'invention concerne également les sels des composés de la formule (I), certains composés déjà connus étant exclus de ce groupe de substances. L'invention concerne en outre un procédé de production de ces nouveaux composés, ainsi que leur utilisation comme herbicides.

substituted alkyl; and R<sup>5</sup> is hydrogen or possibly substituted alkyl, alkenyl, alkinyl, cycloalkyl, cycloalkyl alkyl or heterocyclyl; and salts of the compounds of formula (I), excluding certain known compounds from this group of substances, and also a process for producing the novel compounds and their use as herbicides.

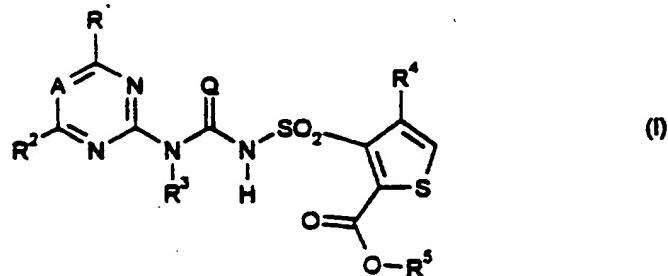
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FILE ~~SEARCH THIS AMENDED  
TRANSLATION~~Substituted thiensulphonyl(thio)ureas

The invention relates to novel substituted thiensulphonyl(thio)ureas, to processes for their preparation and to their use as herbicides.

It is already known that certain substituted thiensulphonylureas, such as, for example, 5 the compound N-(4-cyclopropyl-6-methoxy-1,3,5-triazin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, have herbicidal properties (cf. EP 207609, cf. also EP 30142). However, the herbicidal activity of these known compounds is not satisfactory in all aspects.

This invention, accordingly, provides the novel substituted thiensulphonyl(thio)ureas 10 of the general formula (I)



in which

A represents nitrogen or a CH grouping,

Q represents oxygen or sulphur,

R<sup>1</sup> represents hydrogen, halogen or respectively optionally substituted alkyl, 15 alkoxy, alkylthio, alkylamino, dialkylamino, cycloalkyl, cycloalkyloxy, aryloxy or heterocyclxyloxy,

R<sup>2</sup> represents hydrogen, halogen or respectively optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, cycloalkyl, cycloalkyloxy, aryloxy

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or heterocyclyoxy,

R<sup>3</sup> represents hydrogen or optionally substituted alkyl,

R<sup>4</sup> represents optionally substituted alkyl and

R<sup>5</sup> represents hydrogen or respectively optionally substituted alkyl, alkenyl, alkinyl,  
5 cycloalkyl, cycloalkylalkyl or heterocyclyl,

and salts of compounds of the formula (I),

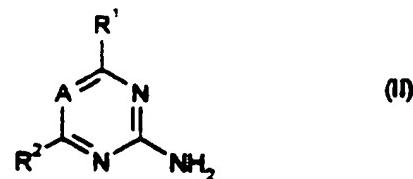
except for the prior-art compounds N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(2-(2-chloro-ethoxycarbonyl)-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(4-ethyl-2-i-propoxycarbonyl-thien-3-yl-sulphonyl)-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(2-allyloxycarbonyl-4-n-butyl-thien-3-yl-sulphonyl)-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'(2-methoxycarbonyl-4-i-propyl-thien-3-yl-sulphonyl)-urea and N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-trifluoromethyl-thien-3-yl-sulphonyl)-urea (cf. EP 30142), and also the likewise prior-art compounds N-(4-cyclopropyl-6-methoxy-pyrimidin-2-yl)-N'-(4-methyl-2-n-propoxycarbonyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methyl-pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methoxy-pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methoxy-1,3,5-triazin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methoxy-1,3,5-triazin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea and N-(4-cyclopropyl-6-methoxy-1,3,5-triazin-2-yl)-N'-(2-i-propoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea (cf. EP 207609), which are excluded by disclaimer.

The novel substituted thienylsulphonyl(thio)ureas of the general formula (I) are obtained when

25 (a) aminoazines of the general formula (II)

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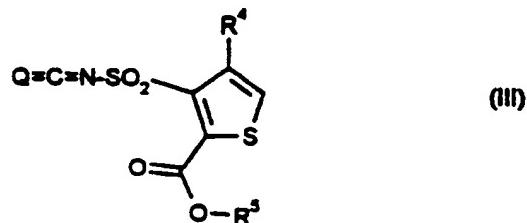
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in which

A, R<sup>1</sup> and R<sup>2</sup> are each as defined above,

are reacted with thienylsulphonyl iso(thio)cyanates of the general formula (III)



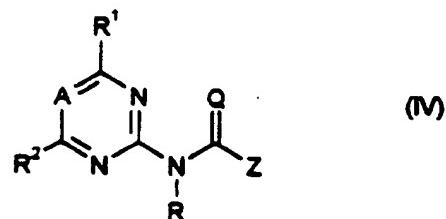
in which

5      Q, R<sup>4</sup> and R<sup>5</sup> are each as defined above,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

or

(b) substituted aminoazines of the general formula (IV)



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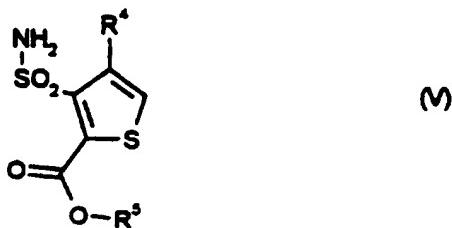
in which

A, Q, R<sup>1</sup> and R<sup>2</sup> are each as defined above,

Z represents halogen, alkoxy or aryloxy and

R has the meaning given above for R<sup>3</sup> or represents grouping -C(Q)-Z

5 are reacted with thiophenesulphonamides of the general formula (V)



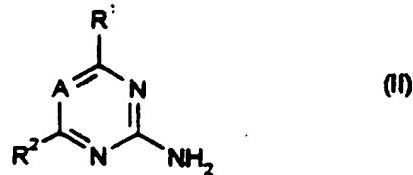
in which

R<sup>4</sup> and R<sup>5</sup> are each as defined above,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

10 or

(c) aminoazines of the general formula (II)



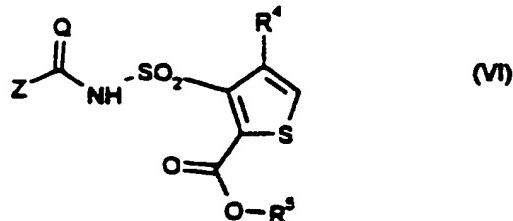
in which

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A, R<sup>1</sup> and R<sup>2</sup> are each as defined above,

are reacted with substituted thiophenesulphonamides of the general formula (VI)



in which

Q, R<sup>4</sup> and R<sup>5</sup> are each as defined above and

5 Z represents halogen, alkoxy or aryloxy,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

and the compounds of the formula (I) obtained by processes (a), (b) and (c) are optionally converted into salts by customary methods.

10 The novel substituted thiensulphonyl(thio)ureas of the general formula (I) have strong herbicidal activity.

The invention preferably provides compounds of the formula (I) in which

A represents nitrogen or a CH grouping,

Q represents oxygen or sulphur,

15 R<sup>1</sup> represents hydrogen, halogen, represents respectively optionally cyano-, halogen- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or

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- dialkylamino having in each case 1 to 4 carbon atoms in the alkyl groups, represents respectively optionally cyano-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted cycloalkyl or cycloalkyloxy having in each case 3 to 6 carbon atoms, or represents respectively optionally cyano-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted phenoxy, oxetanyloxy, furyloxy or tetrahydrofuryloxy,
- 5
- R<sup>2</sup> represents hydrogen or halogen, represents respectively optionally cyano-, halogen- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or dialkylamino having in each case 1 to 4 carbon atoms in the alkyl groups, represents respectively optionally cyano-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted cycloalkyl or cycloalkyloxy having in each case 3 to 6 carbon atoms, or represents respectively optionally cyano-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted phenoxy, oxetanyloxy, furyloxy or tetrahydrofuryloxy,
- 10
- 15 R<sup>3</sup> represents hydrogen or optionally C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkyl-carbonyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl-substituted alkyl having 1 to 4 carbon atoms,
- R<sup>4</sup> represents optionally cyano-, halogen- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted alkyl having 1 to 6 carbon atoms and
- 20 R<sup>5</sup> represents hydrogen, represents optionally cyano-, halogen- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted alkyl having 1 to 6 carbon atoms, represents respectively optionally halogen-substituted alkenyl or alkinyl having in each case 2 to 6 carbon atoms, represents respectively optionally cyano-, halogen- or C<sub>1</sub>-C<sub>4</sub>-alkyl-substituted cycloalkyl or cycloalkylalkyl having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally 1 to 4 carbon atoms in the alkyl moiety, or
- 25 represents respectively optionally cyano-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted oxetanyl, furyl or tetrahydrofuryl,

except for the prior-art compounds N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-[2-(2-

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chloro-ethoxycarbonyl)-4-methyl-thien-3-yl-sulphonyl]-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(4-ethyl-2-i-propoxycarbonyl-thien-3-yl-sulphonyl)-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(2-allyloxycarbonyl-4-n-butyl-thien-3-yl-sulphonyl)-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'(2-methoxycarbonyl-4-i-propyl-thien-3-yl-sulphonyl)-urea and N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-trifluoromethyl-thien-3-yl-sulphonyl)-urea (cf. EP 30142), and also the likewise prior-art compounds N-(4-cyclopropyl-6-methoxy-pyrimidin-2-yl)-N'-(4-methyl-2-n-propoxycarbonyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methyl-pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methoxy-pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methoxy-1,3,5-triazin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methyl-1,3,5-triazin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea and N-(4-cyclopropyl-6-methoxy-1,3,5-triazin-2-yl)-N'-(2-i-propoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea (cf. EP 207609), which are excluded by disclaimer.

The invention furthermore preferably provides sodium, potassium, magnesium, calcium, ammonium, C<sub>1</sub>-C<sub>4</sub>-alkyl-ammonium, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tri-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tetra-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tri-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-sulphonium, C<sub>5</sub>- or C<sub>6</sub>-cycloalkyl-ammonium and di-(C<sub>1</sub>-C<sub>2</sub>-alkyl)-benzyl-ammonium salts of compounds of the formula (I) in which A, Q, R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are each preferably as defined above.

The invention in particular provides compounds of the formula (I) in which

A represents nitrogen or a CH grouping,

Q represents oxygen or sulphur,

R<sup>1</sup> represents hydrogen, fluorine, chlorine, bromine or respectively optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-

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propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino,

- 5           R<sup>2</sup> represents fluorine, chlorine, bromine or respectively optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino,
- 10          R<sup>3</sup> represents hydrogen or optionally methoxy-, ethoxy-, n- or i-propoxy-, acetyl-, propionyl, n- or i-butyroyl-, methoxycarbonyl-, ethoxycarbonyl-, n- or i-propoxycarbonyl-substituted methyl or ethyl,
- 15          R<sup>4</sup> represents respectively optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, and
- 20          R<sup>5</sup> represents hydrogen, represents respectively optionally cyano-, fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents respectively optionally fluorine-, chlorine- or bromine-substituted propenyl, butenyl, propinyl or butinyl, or represents respectively optionally cyano-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-substituted cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl,
- 25          except for the prior-art compounds N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(2-chloro-ethoxycarbonyl)-4-methyl-thien-3-yl-sulphonyl]-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(4-ethyl-2-i-propoxycarbonyl-thien-3-yl-sulphonyl)-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(2-allyloxycarbonyl-4-n-butyl-thien-3-yl-sulphonyl)-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'(2-methoxycarbonyl-4-i-propyl-thien-3-yl-sulphonyl)-urea and N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-trifluoromethyl-thien-3-yl-sulphonyl)-urea (cf. EP 30142), and also the likewise prior-art compounds N-(4-cyclopropyl-6-methoxy-pyrimidin-2-yl)-N'-(4-methyl-2-n-propoxycarbonyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methyl-

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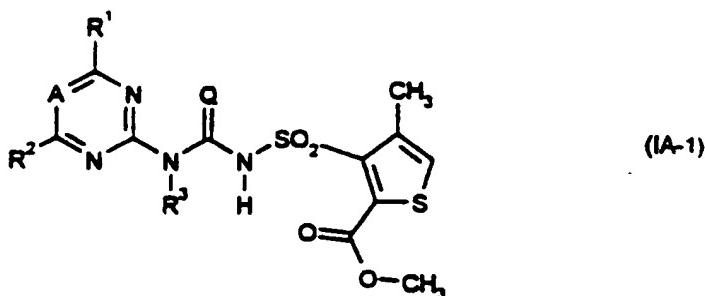
pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methoxy-pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methoxy-1,3,5-triazin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methyl-1,3,5-triazin-2-yl)-N'  
5 (2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea and N-(4-cyclopropyl-6-methoxy-1,3,5-triazin-2-yl)-N'-(2-i-propoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea  
(cf. EP 207609), which are excluded by disclaimer.

The abovementioned general or preferred radical definitions apply both to the end products of the formula (I) and, correspondingly, to the starting materials or  
10 intermediates required in each case for the preparation. These radical definitions can be combined with each other as desired, that is to say combinations between the stated ranges of preferred compounds are also possible.

Examples of compounds of the formula (I) according to the invention are listed in the groups below.

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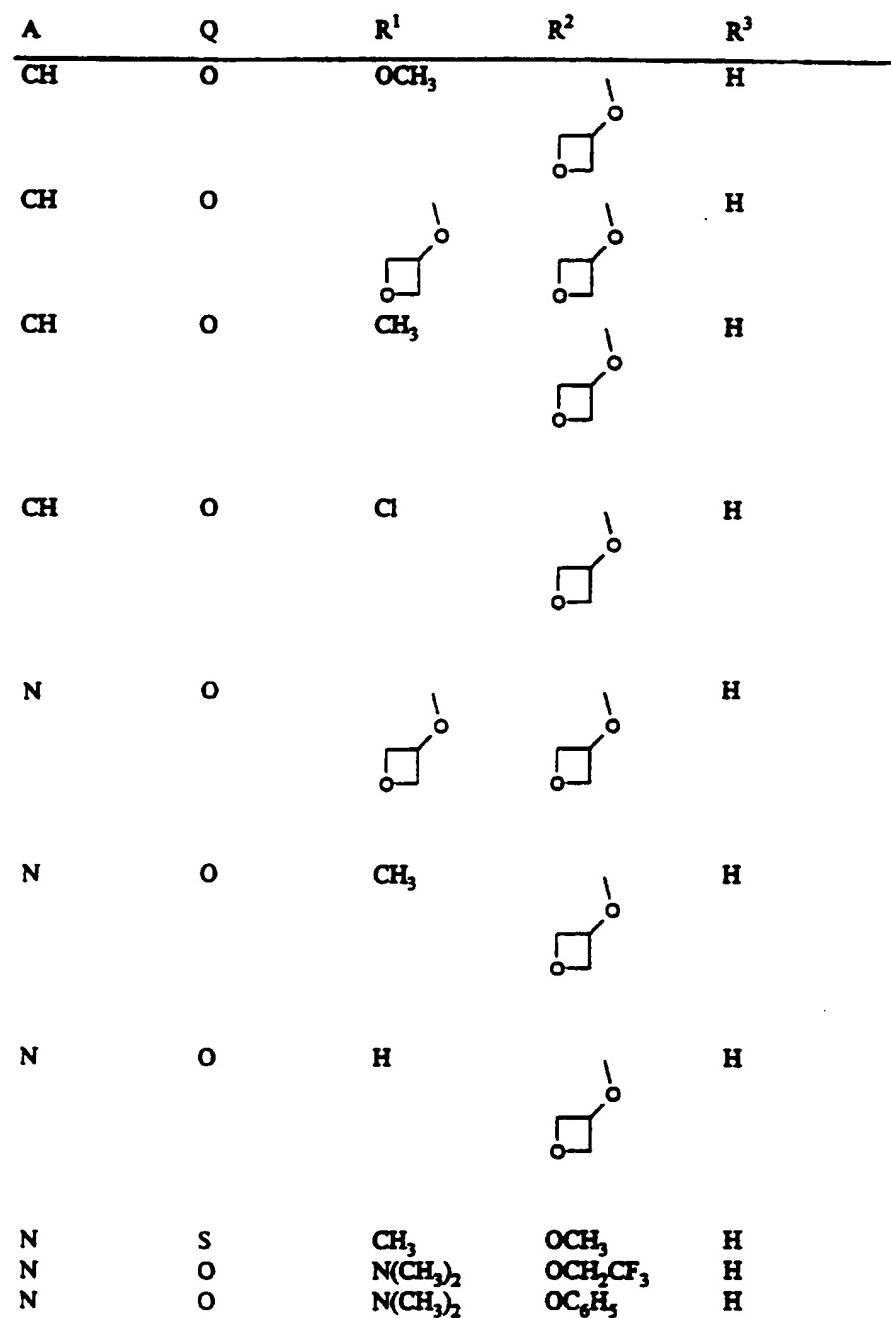
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Group 1A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning listed below:

A	Q	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>
CH	O	OCH <sub>3</sub>	OCH <sub>3</sub>	H
CH	O	CH <sub>3</sub>	OCH <sub>3</sub>	H
CH	O	CH <sub>3</sub>	CH <sub>3</sub>	H
CH	O	Cl	OCH <sub>3</sub>	H
CH	O	H	CH <sub>3</sub>	H
N	O	CH <sub>3</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
N	O	OCH <sub>3</sub>	OCH <sub>3</sub>	CH <sub>3</sub>
N	O	CH <sub>3</sub>	OCH <sub>3</sub>	H
N	O	OCH <sub>3</sub>	OCH <sub>3</sub>	H
N	O	CH <sub>3</sub>	CH <sub>3</sub>	H
N	O	OCHF <sub>2</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	H
N	O	CH <sub>3</sub>	SCH <sub>3</sub>	H
N	O	C <sub>2</sub> H <sub>5</sub>	OCH <sub>3</sub>	H
N	O	CH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	H
N	O	H	OCH <sub>3</sub>	H
N	O	OCH <sub>3</sub>		
				△
N	O	CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	H

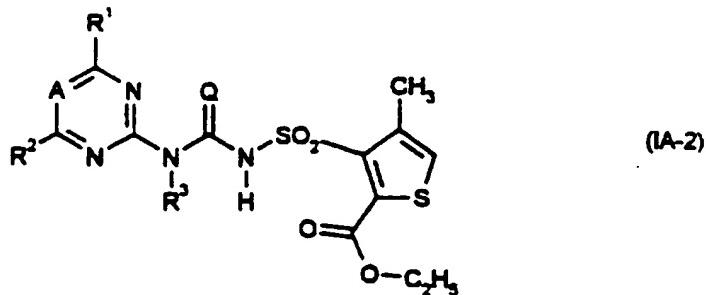
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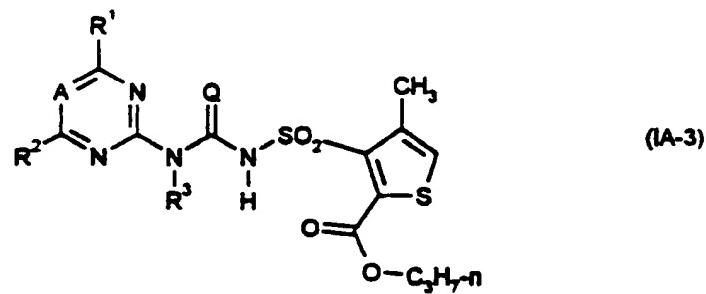


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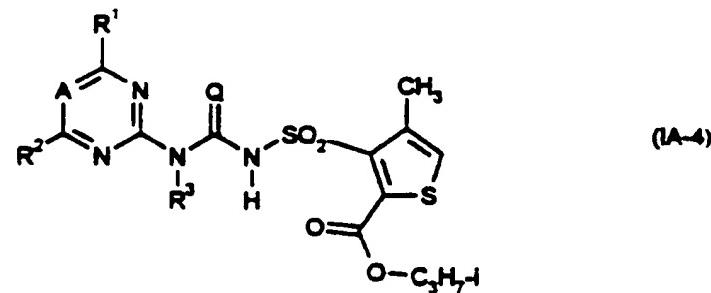
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Group 2

A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

Group 3

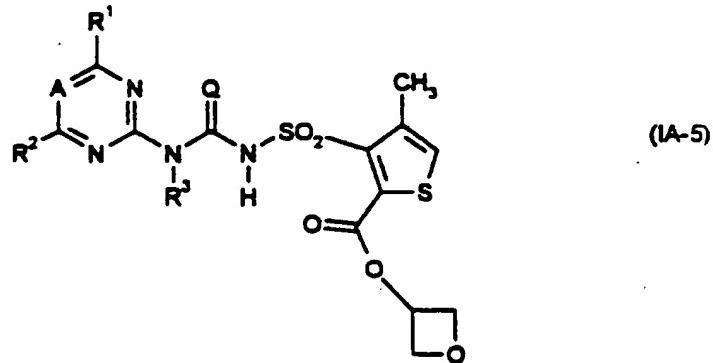
A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

5    Group 4

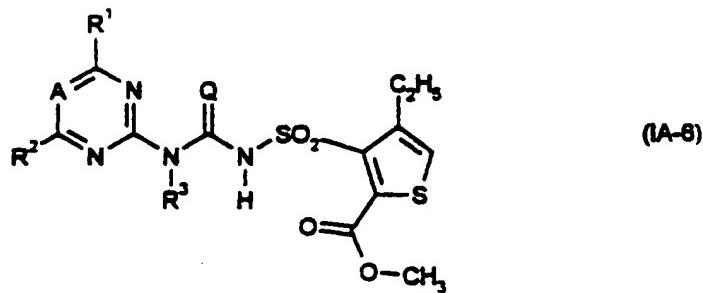
A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

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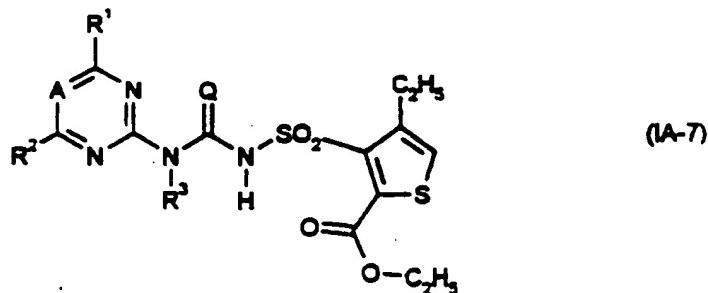
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Group 5

A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

Group 6

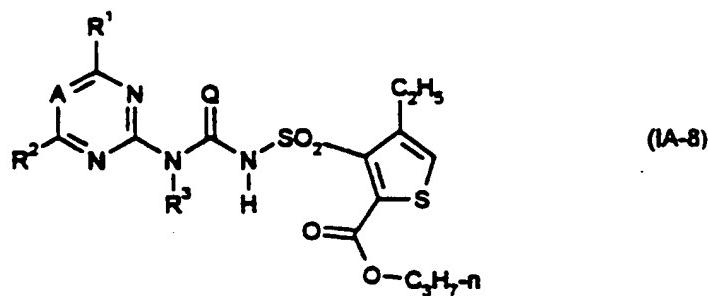
A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

5    Group 7

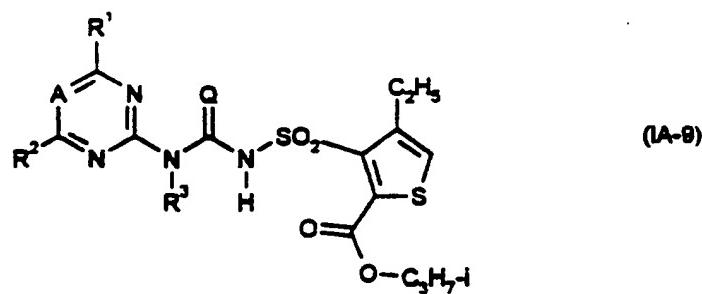
A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

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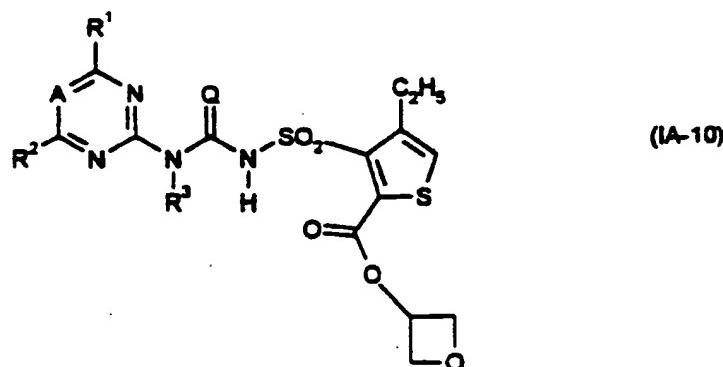
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Group 8

A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

Group 9

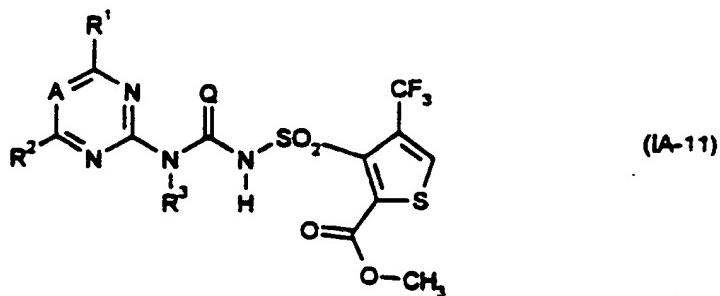
A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

5    Group 10

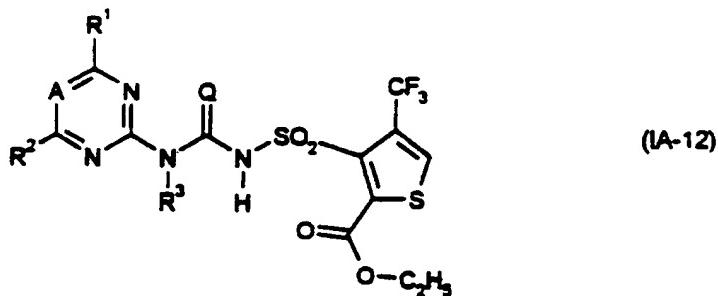
A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

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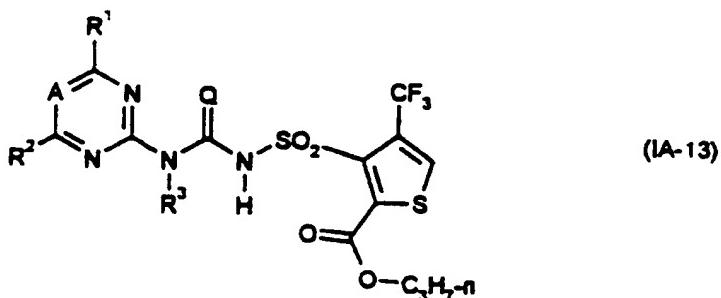
- 15 -

Group 11

A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

Group 12

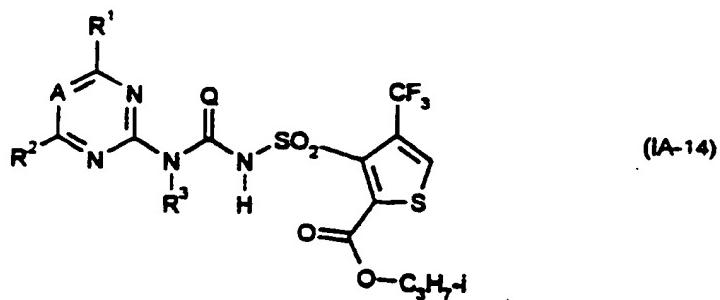
A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

5    Group 13

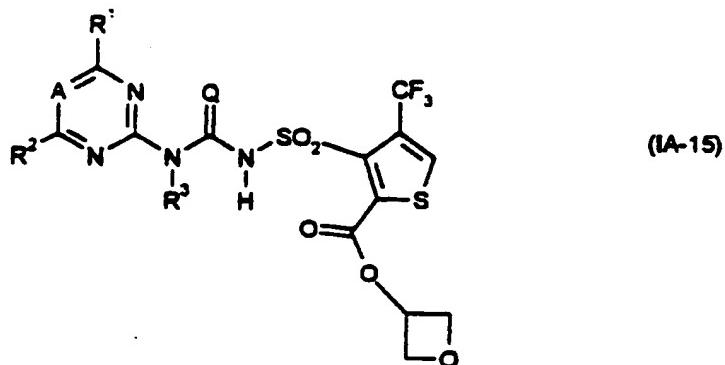
A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

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Group 14

A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

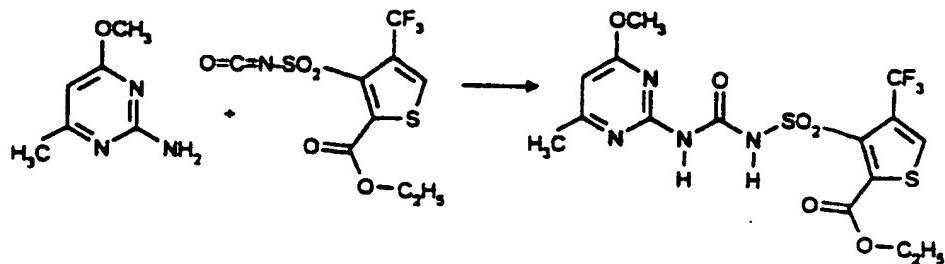
Group 15

A, Q, R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> have, for example, the meaning given above in Group 1.

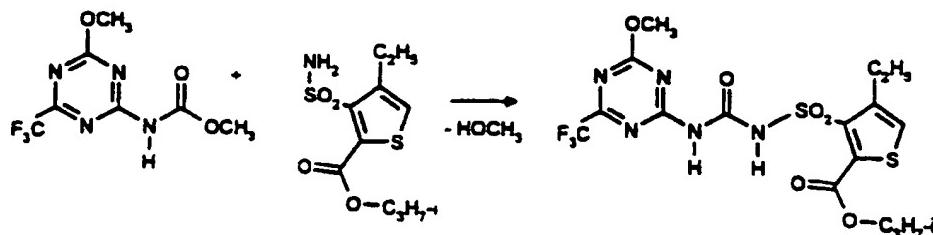
- 5 Using, for example, 2-amino-4-methoxy-6-methyl-pyrimidine and 2-ethoxycarbonyl-4-trifluoromethyl-thien-3-yl-sulphonyl isocyanate as starting materials, the course of the reaction in the process (a) according to the invention can be illustrated by the following equation:

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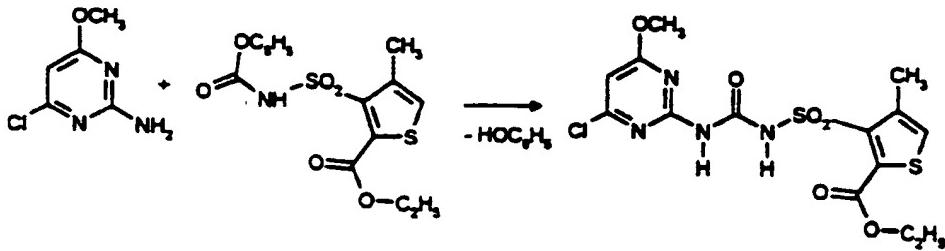
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Using, for example, 2-methoxycarbonylamino-4-methoxy-6-trifluoromethyl-1,3,5-triazine and 4-ethyl-2-i-propoxycarbonyl-thiophene-3-sulphonamide as starting materials, the course of the reaction in the process (b) according to the invention can be illustrated by the following equation:



- 5 Using, for example, 2-amino-4-chloro-6-methoxy-pyrimidine and N-(2-ethoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-O-phenyl-urethane as starting materials, the course of the reaction in the process (c) according to the invention can be illustrated by the following equation:



- 10 The formula (II) provides a general definition of the aminoazines to be used as starting materials in the process (a) and (c) according to the invention for preparing the compounds of the general formula (I). In the formula (II), A, R<sup>1</sup> and R<sup>2</sup> each preferably or in particular have those meanings which have already been indicated above, in connection with the description of the compounds of the formula (I), as being preferred or particularly preferred for A, R<sup>1</sup> and R<sup>2</sup>.

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The aminoazines of the formula (II) are known chemicals for synthesis, some of which are commercially available.

5       The formula (III) provides a general definition of the thienylsulphonyl iso(thio)cyanates further to be used as starting materials in the process (a) according to the invention. In the formula (III), Q, R<sup>4</sup> and R<sup>5</sup> each preferably or in particular have those meanings which have already been indicated above, in connection with the description of the compounds of the formula (I), as being preferred or particularly preferred for Q, R<sup>4</sup> and R<sup>5</sup>.

10      The starting materials of formula (III) are known and/or can be prepared by processes known per se (cf. EP 30142).

15      The thienylsulphonyl iso(thio)cyanates of the formula (III) are obtained when thiophenesulphonamides of the general formula (V) - above - are reacted with phosgene or thiophosgene, if appropriate in the presence of an alkyl isocyanate, such as, for example, butyl isocyanate, if appropriate in the presence of a reaction auxiliary, such as, for example, diazabicyclo[2.2.2]octane, and in the presence of a diluent, such as, for example, toluene, xylene or chlorobenzene, at temperatures between 80°C and 150°C, and the volatile components are distilled off under reduced pressure after the reaction has ended.

20      The formula (IV) provides a general definition of the substituted aminoazines to be used as starting materials in the process (b) according to the invention for preparing compounds of the formula (I). In the formula (IV), A, Q, R<sup>1</sup>, R<sup>2</sup> and R each preferably or in particular have those meanings which have already been indicated above, in connection with the description of the compounds of the formula (I), as being preferred or particularly preferred for A, Q, R<sup>1</sup>, R<sup>2</sup> or R<sup>3</sup>, where R may also represent -C(Q)-Z; 25     Z preferably represents fluorine, chlorine, bromine, C<sub>1</sub>-C<sub>4</sub>-alkoxy or phenoxy, in particular chlorine, methoxy, ethoxy or phenoxy.

The starting materials of the formula (IV) are known and/or can be prepared by

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processes known per se (cf. US 4690707, DE 19501174, Preparation Examples).

- The formula (V) provides a general definition of the thiophenesulphonamides further to be used as starting materials in the process (b) according to the invention. In the formula (V), R<sup>4</sup> and R<sup>5</sup> each preferably or in particular have those meanings which  
 5 have already been indicated above, in connection with the description of the compounds of the formula (I), as being preferred or particularly preferred for R<sup>4</sup> and R<sup>5</sup>.

The starting materials of the formula (V) are known and/or can be prepared by processes known per se (cf. EP 30142).

- 10 The formula (VI) provides a general definition of the substituted thiophenesulphonamides to be used as starting materials in the process (c) according to the invention for preparing the compounds of the formula (I). In the formula (VI), Q, R<sup>4</sup> and R<sup>5</sup> each preferably or in particular have those meanings which have already been indicated above, in connection with the description of the compounds of the  
 15 formula (I), as being preferred or particularly preferred for Q, R<sup>4</sup> and R<sup>5</sup>; Z preferably represents fluorine, chlorine, bromine, C<sub>1</sub>-C<sub>4</sub>-alkoxy or phenoxy, in particular chlorine, methoxy, ethoxy or phenoxy.

The starting materials of formula (VI) are known and/or can be prepared by processes known per se.

- 20 Suitable diluents for carrying out the processes (a), (b) and (c) according to the invention are inert organic solvents. These include, in particular, aliphatic, alicyclic or aromatic, optionally halogenated hydrocarbons, such as, for example, benzene, benzene, toluene, xylene, chlorobenzene, dichlorobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, chloroform, carbon tetrachloride; ethers, such as diethyl ether,  
 25 diisopropyl ether, dioxane, tetrahydrofuran or ethylene glycol dimethyl ether or ethylene glycol diethyl ether; ketones, such as acetone, butanone or methyl isobutyl ketone; nitriles, such as acetonitrile, propionitrile or benzonitrile; amides, such as N,N-

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dimethylformamide, N,N-dimethylacetamide, N-methyl-formanilide, N-methyl-pyrrolidone or hexamethylphosphoric triamide; esters such as methyl acetate or ethyl acetate; sulphoxides, such as dimethyl sulphoxide; alcohols, such as methanol, ethanol, n- or i-propanol, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether,  
5 diethylene glycol monomethyl ether, diethylene glycol monoethyl ether, mixtures thereof with water or pure water.

The processes (a), (b) and (c) according to the invention are preferably carried out in the presence of a suitable reaction auxiliary. Suitable reaction auxiliaries are all customary inorganic or organic bases. These include, for example, alkaline earth metal  
10 or alkali metal hydrides, hydroxides, amides, alkoxides, acetates, carbonates or dicarbonates, such as, for example, sodium hydride, sodium amide, sodium methoxide, sodium ethoxide, potassium tert-butoxide, sodium hydroxide, potassium hydroxide, ammonium hydroxide, sodium acetate, potassium acetate, calcium acetate, ammonium acetate, sodium carbonate, potassium carbonate, potassium bicarbonate, sodium  
15 bicarbonate or ammonium carbonate and also tertiary amines, such as trimethylamine, triethylamine, tributylamine, N,N-dimethylaniline, pyridine, N-methylpiperidine, N,N-dimethylaminopyridine, diazabicyclooctane (DABCO), diazabicyclononene (DBN) or diazabicycloundecene (DBU).

When carrying out the processes (a), (b) and (c) according to the invention, the reaction  
20 temperatures can be varied within a relatively wide range. In general, the reaction is carried out at temperatures between -20°C and +150°C, preferably between 0°C and +120°C.

The processes (a), (b) and (c) according to the invention are generally carried out under atmospheric pressure. However, it is also possible to carry out the processes according  
25 to the invention under elevated or reduced pressure - in general between 0.1 bar and 10 bar.

In the practice of the processes (a), (b) and (c) according to the invention, the starting materials are generally employed in approximately equimolar amounts. However, it is

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also possible to employ one of the components in a relatively large excess. The reaction is generally carried out in a suitable solvent in the presence of a reaction auxiliary, and the reaction mixture is generally stirred for a number of hours at the temperature required. Work-up is carried out by customary methods (cf. the Preparation Examples).

If required, salts of the compounds of the general formula (I) according to the invention can be prepared. Such salts are obtained in a simple manner by customary methods of forming salts, for example by dissolving or dispersing a compound of the formula (I) in a suitable solvent, such as, for example, methylene chloride, acetone, 10 tert-butyl methyl ether or toluene, and addition of a suitable base. The salts can then be isolated - if required after prolonged stirring - by concentration or filtration with suction.

The active compounds according to the invention can be used as defoliants, desiccants, haulm killers and, especially, as weedkillers. By weeds in the broadest sense, there are 15 to be understood all plants which grow in locations where they are undesirable. Whether the substances according to the invention act as total or selective herbicides depends essentially on the amount used.

The active compounds according to the invention can be used, for example, in connection with the following plants:

- 20 Dicotyledonous weeds of the genera: Sinapis, Lepidium, Galium, Stellaria, Matricaria, Anthemis, Galinsoga, Chenopodium, Urtica, Senecio, Amaranthus, Portulaca, Xanthium, Convolvulus, Ipomoea, Polygonum, Sesbania, Ambrosia, Cirsium, Carduus, Sonchus, Solanum, Rorippa, Rotala, Lindernia, Lamium, Veronica, Abutilon, Emex, Datura, Viola, Galeopsis, Papaver, Centaurea, Trifolium, Ranunculus and Taraxacum.
- 25 Dicotyledonous crops of the genera: Gossypium, Glycine, Beta, Daucus, Phaseolus, Pisum, Solanum, Linum, Ipomoea, Vicia, Nicotiana, Lycopersicon, Arachis, Brassica, Lactuca, Cucumis and Cucurbita.

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- Monocotyledonous weeds of the genera: Echinochloa, Setaria, Panicum, Digitaria, Phleum, Poa, Festuca, Eleusine, Brachiaria, Lolium, Bromus, Avena, Cyperus, Sorghum, Agropyron, Cynodon, Monochoria, Fimbristylis, Sagittaria, Eleocharis, Scirpus, Paspalum, Ischaemum, Sphenoclea, Dactyloctenium, Agrostis, Alopecurus and  
 5 Apera.

Monocotyledonous crops of the genera: Oryza, Zea, Triticum, Hordeum, Avena, Secale, Sorghum, Panicum, Saccharum, Ananas, Asparagus and Allium.

However, the use of the active compounds according to the invention is in no way restricted to these genera, but also extends in the same manner to other plants.

- 10 The compounds are suitable, depending on the concentration, for the total control of weeds, for example on industrial terrain and railway tracks, and on paths and squares with or without tree plantings. Equally, the compounds can be employed for controlling weeds in perennial cultures, for example forests, decorative tree plantings, orchards, vineyards, citrus groves, nut orchards, banana plantations, coffee plantations, tea  
 15 plantations, rubber plantations, oil palm plantations, cocoa plantations, soft fruit plantings and hop fields, on lawns, sports fields and pasture-land. Furthermore, the compounds can also be used for the selective control of weeds in annual cultures.

- 20 The compounds of the formula (I) according to the invention are suitable for controlling monocotyledonous and dicotyledonous weeds, both pre-emergence and post-emergence. They have strong herbicidal activity and a broad activity spectrum when applied to the soil and to above-ground parts of plants.

- 25 The active compounds can be converted into the customary formulations, such as solutions, emulsions, wettable powders, suspensions, powders, dusting agents, pastes, soluble powders, granules, suspo-emulsion concentrates, natural and synthetic materials impregnated with active compound, and very fine capsules in polymeric substances.

These formulations are produced in a known manner, for example by mixing the active

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compounds with extenders, that is liquid solvents and/or solid carriers, optionally with the use of surfactants, that is emulsifiers and/or dispersing agents and/or foam-forming agents.

If the extender used is water, it is also possible to use for example organic solvents as auxiliary solvents. Essentially, suitable liquid solvents are: aromatics, such as xylene, toluene or alkylnaphthalenes, chlorinated aromatics and chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example petroleum fractions, mineral and vegetable oils, alcohols, such as butanol or glycol and their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents, such as dimethylformamide and dimethyl sulphoxide, and also water.

10 Suitable solid carriers are:

for example ammonium salts and ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as finely divided silica, alumina and silicates, suitable solid carriers for granules are: for example crushed and fractionated natural rocks such as calcite, marble, pumice, sepiolite and dolomite, and also synthetic granules of inorganic and organic meals, and granules of organic material such as sawdust, coconut shells, maize 15 cobs and tobacco stalks; suitable emulsifiers and/or foam-forming agents are: for example nonionic and anionic emulsifiers, such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkylsulphonates, alkyl sulphates, arylsulphonates as well as protein hydrolysates; 20 suitable dispersing agents are: for example lignin-sulphite waste liquors and methylcellulose.

25 Tackifiers such as carboxymethylcellulose and natural and synthetic polymers in the form of powders, granules or latexes, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, and natural phospholipids, such as cephalins and lecithins, and

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synthetic phospholipids, can be used in the formulations. Possible further additives are mineral and vegetable oils.

It is possible to use colorants such as inorganic pigments, for example iron oxide, titanium oxide and Prussian Blue, and organic dyes, such as alizarin dyes, azo dyes and 5 metal phthalocyanine dyes, and trace nutrients such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

The formulations in general contain between 0.1 and 95 per cent by weight of active compound, preferably between 0.5 and 90%.

For controlling weeds, the active compounds according to the invention, as such or in 10 the form of their formulations, can also be used as mixtures with known herbicides, finished formulations or tank mixes being possible.

Possible components for the mixtures are known herbicides, for example anilides, such as diflufenican and propanil; arylcarboxylic acids, such as dichloropicolinic acid, dicamba and picloram; aryloxyalkanoic acids, such as 2,4-D, 2,4-DB, 2,4-DP, 15 fluroxypyr, MCPA, MCPP and triclopyr; aryloxy-phenoxy-alkanoic esters, such as diclofop-methyl, fenoxaprop-ethyl, fluazifop-butyl, haloxyfop-methyl and quizalofop-ethyl; azinones, such as chloridazon and norflurazon; carbamates, such as chlorpropham, desmedipham, phenmedipham and propham; chloroacetanilides, such as alachlor, acetochlor, butachlor, metazachlor, metolachlor, pretilachlor and propachlor; 20 dinitroanilines, such as oryzalin, pendimethalin and trifluralin; diphenyl ethers, such as acifluorfen, bifenoxy, fluoroglycofen, fomesafen, halosafen, lactofen and oxyfluorfen; ureas, such as chlorotoluron, diuron, fluometuron, isoproturon, linuron and methabenzthiazuron; hydroxylamines, such as aloxydim, clethodim, cycloxydim, sethoxydim and tralkoxydim; imidazolinones, such as imazethapyr, imazamethabenz, 25 imazapyr and imazaquin; nitriles, such as bromoxynil, dichlobenil and ioxynil; oxyacetamides, such as mefenacet; sulphonylureas, such as amidosulfuron, bensulfuron-methyl, chlorimuron-ethyl, chlorsulfuron, cinosulfuron, metsulfuron-methyl, nicosulfuron, primisulfuron, pyrazosulfuron-ethyl, thifensulfuron-methyl, triasulfuron

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and tribenuron-methyl; thiocarbamates, such as butylate, cycloate, diallate, EPTC, esprocarb, molinate, prosulfocarb, thiobencarb and triallate; triazines, such as atrazine, cyanazine, simazine, simetryne, terbutryne and terbutylazine; triazinones, such as hexazinone, metamitron and metribuzin; and others, such as aminotriazole, benfuresate,  
5 bentazone, cinmethylin, clomazone, clopyralid, difenzoquat, dithiopyr, ethofumesate, fluorochloridone, glufosinate, glyphosate, isoxaben, pyridate, quinchlorac, quinmerac, sulphosate and tridiphane.

Mixtures with other known active compounds, such as fungicides, insecticides, acaricides, nematicides, bird repellents, plant nutrients and agents which improve soil  
10 structure, are also possible.

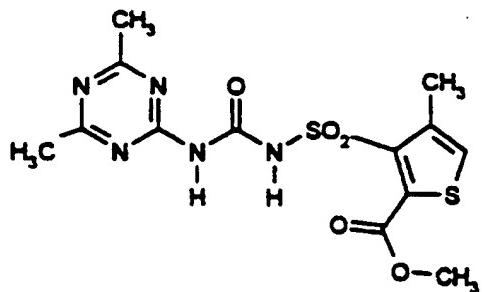
The active compounds can be used as such, in the form of their formulations or in the use forms prepared therefrom by further dilution, such as ready-to-use solutions, suspensions, emulsions, powders, pastes and granules. They are used in the customary manner, for example by watering, spraying, atomizing or scattering.

15 The active compounds according to the invention can be applied either before or after emergence of the plants. They can also be incorporated into the soil before sowing.

The amount of active compound used can vary within a substantial range. It depends essentially on the nature of the desired effect. In general, the amounts used are between 1 g and 10 kg of active compound per hectare of soil surface, preferably between 5 g  
20 and 5 kg per ha.

The preparation and use of the active compounds according to the invention can be seen from the examples below.

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Preparation Examples:Example 1

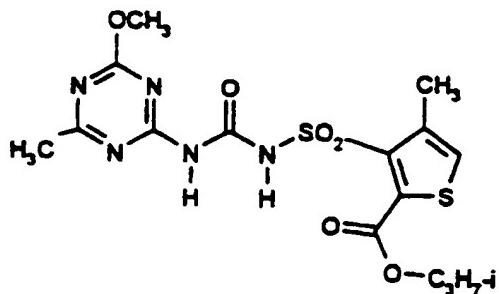
(Process (a))

1.24 g (10 mmol) of 2-amino-4,6-dimethyl-1,3,5-triazine are initially charged in 40 ml  
 5 of acetonitrile, and 2.61 g (10 mmol) of 2-methoxycarbonyl-4-methyl-thien-3-yl-  
 sulphonyl isocyanate are added at room temperature (about 20°C). The mixture is  
 heated under reflux for 12 hours and the resulting crystalline product is then isolated  
 by filtration with suction.

This gives 2.6 g (68% of theory) of N-(4,6-dimethyl-1,3,5-triazin-2-yl)-N'-(2-  
 10 methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea of melting point 210°C.

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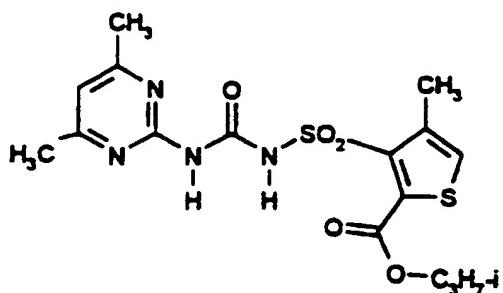
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Example 2

(Process (b))

1.30 g (3.9 mmol) of N,N-bis-phenoxy carbonyl-2-amino-4-methoxy-6-methyl-1,3,5-triazine are initially charged in 50 ml of acetonitrile. 1.13 g (4.3 mmol) of 4-methyl-2-i-propoxycarbonyl-thiophene-3-sulphonamide and 0.65g (4.3 mmol) of diazabicycloundecene (DBU) are then added at room temperature (about 20°C), and the mixture is stirred at this temperature for about 12 hours. The mixture is then concentrated using water pump vacuum, the residue is acidified using 2N hydrochloric acid and extracted using methylene chloride. The organic phase is washed with water, dried with magnesium sulphate and filtered. The filtrate is concentrated using water pump vacuum, the residue is digested with diethyl ether and the resulting crystalline product is isolated by filtration with suction.

This gives 0.87 g (52% of theory) of N-(4-methoxy-6-methyl-1,3,5-triazin-2-yl)-N'-(4-methyl-2-i-propoxycarbonyl-thien-3-yl-sulphonyl)-urea of melting point 185°C.

Example 3

(Process (b))

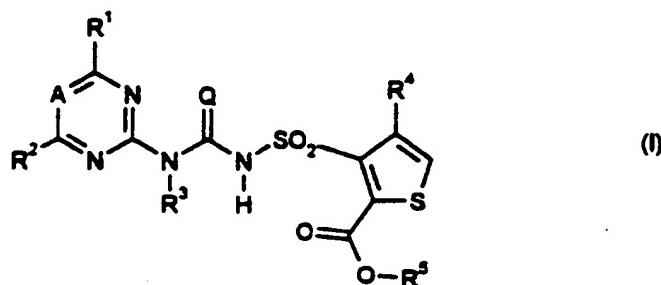
- 1.24 g (5.1 mmol) of N-phenoxy carbonyl-2-amino-4,6-dimethyl-pyrimidine are initially charged in 50 ml of acetonitrile. 1.47 g (5.6 mmol) of 4-methyl-2-i-propoxycarbonyl-  
 5 thiophene-3-sulphonamide and 0.85 g (5.6 mmol) of diazabicycloundecene (DBU) are then added at room temperature (about 20°C), and the mixture is stirred at this temperature for about 12 hours. The mixture is then concentrated using water pump vacuum, the residue is acidified with 2N hydrochloric acid and extracted with methylene chloride. The organic phase is washed with water, dried with magnesium  
 10 sulphate and filtered. The filtrate is concentrated using water pump vacuum, the residue is digested with diethyl ether and the resulting crystalline product is isolated by filtration with suction.

This gives 1.29 g (56% of theory) of N-(4,6-dimethyl-pyrimidine-2-yl)-N'-(4-methyl-2-i-propoxycarbonyl-thien-3-yl-sulphonyl)-urea of melting point 223°C.

- 15 Similarly to Preparation Examples 1 to 3 and in accordance with the general description of the preparation processes according to the invention, it is also possible to prepare, for example, the compounds of the formula (I) listed in Table 1 below.

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Table 1: Examples of compounds of the formula (I)

Ex. No.	A	Q	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	Melting point (°C)
4	N	O	CH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	211
5	N	O	OCH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	166
6	N	O	N(CH <sub>3</sub> ) <sub>2</sub>	OCH <sub>2</sub> CF <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	212
7	N	O	N(CH <sub>3</sub> ) <sub>2</sub>	OC <sub>6</sub> H <sub>5</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	207
8	N	O	H	OCH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	195
9	N	O	CH <sub>3</sub>	SCH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	209
10	N	O	CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	217
11	N	O	CH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	205
12	N	O	C <sub>2</sub> H <sub>5</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	206
13	CH	O	CH <sub>3</sub>	CH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	236
14	CH	O	CH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	226
15	CH	O	OCH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	217
16	CH	O	Cl	OCH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	218
17	CH	O	H	CH <sub>3</sub>	H	CH <sub>3</sub>	CH <sub>3</sub>	238
18	N	O	CH <sub>3</sub>	CH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	224
19	N	O	CH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	190
20	N	O	OCH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	176
21	N	O	N(CH <sub>3</sub> ) <sub>2</sub>	OCH <sub>2</sub> CF <sub>3</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	188
22	N	O	OCH <sub>3</sub>		H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	218



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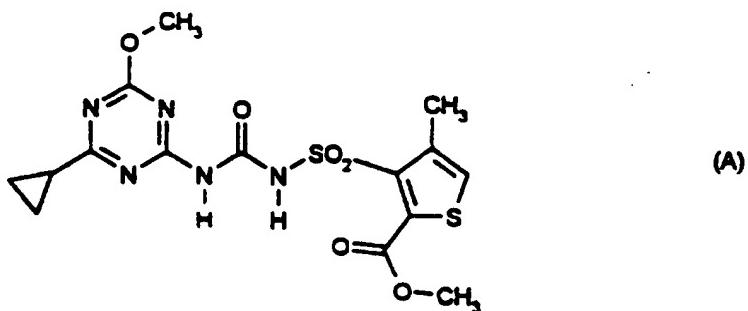
Ex. No.	A	Q	R <sup>1</sup>	R <sup>2</sup>	R <sup>3</sup>	R <sup>4</sup>	R <sup>5</sup>	Melting point (°C)
23	N	O	CH <sub>3</sub>	SCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	215
24	N	O	CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	208
25	N	O	CH <sub>3</sub>	OC <sub>2</sub> H <sub>5</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	155
26	N	O	C <sub>2</sub> H <sub>5</sub>	OH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	203
27	CH	O	CH <sub>3</sub>	CH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	234
28	CH	O	OCH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	109
29	CH	O	Cl	OCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	183
30	CH	O	H	CH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>2</sub> H <sub>5</sub>	220
31	N	O	CH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -n	206
32	CH	O	OCH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -n	160
33	N	O	OCH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -l	184
34	N	O	N(CH <sub>3</sub> ) <sub>2</sub>	OCH <sub>2</sub> CF <sub>3</sub>	H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -l	171
35	N	O	OCH <sub>3</sub>		H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -l	207
				△				
36	N	O	CH <sub>3</sub>	SCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -l	202
37	N	O	OC <sub>2</sub> H <sub>5</sub>	CH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -l	156
38	N	O	C <sub>2</sub> H <sub>5</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -l	178
39	CH	O	CH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -l	201
40	CH	O	OCH <sub>3</sub>	OCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -l	164
41	CH	O	Cl	OCH <sub>3</sub>	H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -l	206
42	N	O	N(CH <sub>3</sub> ) <sub>2</sub>	OCH <sub>2</sub> CF <sub>2</sub> CHF <sub>2</sub>	H	CH <sub>3</sub>	C <sub>3</sub> H <sub>7</sub> -l	168

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Use Examples:

In the use examples, the following compound is used as comparative substance:



N-(4-cyclopropyl-6-methoxy-1,3,5-triazin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea (known from EP 207609).

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Example A

## Pre-emergence Test

Solvent: 5 parts by weight of acetone

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

- 5 To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

- 10 Seeds of the test plants are sown in normal soil. After about 24 hours, the soil is watered with the preparation of the active compound. Advantageously, the amount of water per unit area is kept constant. The active compound concentration in the preparation is not important, only the active compound application rate per unit area is critical.

After three weeks, the degree of damage to the plants is rated in % damage in comparison with the development of the untreated control.

- 15 The figures denote:

0% = no effect (like untreated control)

100% = total destruction

- 20 In this test, for example the compounds of Preparation Example 1, 2, 3, 4, 5, 11, 14, 16, 18, 20, 25, 26, 27, 28, 33, 37, 38, 39 and 41 exhibit, at application rates between 30 g and 125 g of a.i. per hectare, considerably stronger activity against weeds than the known compound (A); cf. Tables A-1 to A-6.

"a.i." = "active ingredient"

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Tables for Example A: Pre-emergence test/greenhouseTable A-1:

	Active compound of Preparation	Application rate (g of ai./ha)	Alopecurus	Avena fatua	Cyperus	Setaria	Abutilon	Amaranthus	Galium
Ex. No.	(A)	125	80	30	90	80	80	80	80
	(13)	60	95	90	95	90	95	95	95
5	(1)	60	95	90	95	95	95	95	95
	(4)	60	95	90	95	95	95	95	100
10	(14)	60	95	90	95	95	95	95	90
	(33)	60	100	95	100	100	100	-	100
	(3)	60	100	90	100	100	-	100	95
15	(39)	60	100	95	100	100	100	100	100
	(37)	60	100	-	90	90	100	100	100
	(18)	60	90	70	100	-	100	95	100
	(20)	60	100	100	100	80	95	100	100
	(25)	30	100	-	100	95	100	100	100

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Table A-2:

	Active compound of Preparation	Application rate (g of ai./ha)	Alopecurus	Avena fatua	Cyperus	Setaria	Abutilon	Amaranthus
5	Ex. No.							
	(A)	125	80	30	90	80	80	80
	(16)	60	90	70	95	80	90	95
	(11)	60	95	50	-	95	100	95
10	(2)	60	100	95	95	90	100	95
	(19)	30	100	90	100	100	100	100

Table A-3:

	Active compound of Preparation	Application rate (g of ai./ha)	Alopecurus	Avena fatua	Cyperus	Amaranthus	Galium
15	Ex. No.						
	(A)	125	80	30	90	80	80
	(27)	30	100	90	100	95	90

20 Table A-4:

	Active compound of Preparation	Application rate (g of ai./ha)	Alopecurus	Cyperus	Abutilon	Amaranthus	Galium
25	Ex. No.						
	(A)	125	80	90	80	80	80
	(5)	125	100	95	95	95	95
	(28)	60	100	100	100	100	95
	(26)	30	100	100	100	100	100

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Table A-5:

5	Active compound of Preparation	Application rate (g of ai./ha)	Alopecurus	Cyperus	Gallium	
	Ex. No.	(A)	125	80	90	80
	(41)	60	100	100	100	

Table A-6:

10	Active compound of Preparation	Application rate (g of ai./ha)	Alopecurus	Abutilon	Amaranthus	Gallium
	Ex. No.	(A)	125	80	80	80
15	(38)	60	100	95	90	100

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Example B

## Post-emergence test

Solvent: 5 parts by weight of acetone

Emulsifier: 1 part by weight of alkylaryl polyglycol ether

- 5 To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

Test plants which have a height of 5 - 15 cm are sprayed with the preparation of the active compound in such a way as to apply the particular amounts of active compound  
10 desired per unit area. The concentration of the sprayed liquor is chosen so that the amounts of active compound desired in each case are applied in 1000 l of water/ha.

After three weeks, the degree of damage to the plants is rated in % damage in comparison with the development of the untreated control.

The figures denote:

- 15 0% = no effect (like untreated control)  
100% = total destruction

In this test, for example the compounds of Preparation Example 11, 13, 14, 19, 20, 25, 27 and 39 exhibit, at application rates between 8 g and 60 g per hectare, considerably stronger activity against weeds than the known compound (A); cf. Tables B-1 and B-2.

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Tables for Example B: Post-emergence test/greenhouseTable B-1:

5	Active compound of Preparation	Applica- tion rate (g of ai./ha)	Bromus	Cype- rus	Digi- taria	Echino- chloa	Loli- um	Sor- ghum	Cheno- podium	Vero- nica
	Ex. No.									
	(A)	60	10	0	0	60	30	20	-	30
	(11)	60	60	70	80	90	90	95	-	100
	(20)	60	60	70	-	-	70	90	90	80

10 Table B-2:

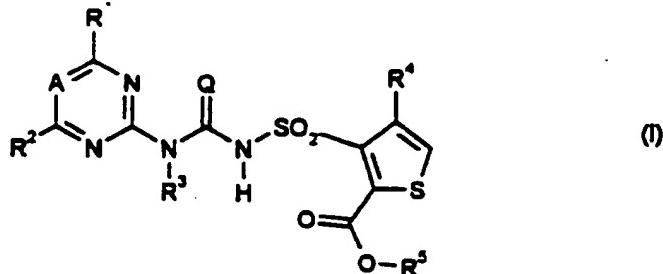
15	Active compound of Preparation	Applica- tion rate (g of ai./ha)	Bro- mus	Cype- rus	Digi- taria	Echino- chloa	Loli- um	Sor- ghum	Cheno- podium	Gali- um	Poly- gonum	Vero- nica
	Ex. No.											
	(A)	30	0	0	0	20	20	10	40	70	80	10
	(13)	30	90	60	80	95	95	80	90	-	90	70
	(14)	15	80	70	60	70	60	70	80	80	-	90
	(27)	30	60	90	60	95	-	90	90	100	95	50

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Patent Claims

1. Substituted thienylsulphonyl(thio)ureas of the general formula (I)



in which

- A represents nitrogen or a CH grouping,
  - 5 Q represents oxygen or sulphur,
  - R<sup>1</sup> represents hydrogen, halogen or respectively optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, cycloalkyl, cycloalkyloxy, aryloxy or heterocyclyloxy,
  - 10 R<sup>2</sup> represents hydrogen, halogen or respectively optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, cycloalkyl, cycloalkyloxy, aryloxy or heterocyclyloxy,
  - R<sup>3</sup> represents hydrogen or optionally substituted alkyl,
  - R<sup>4</sup> represents optionally substituted alkyl and
  - 15 R<sup>5</sup> represents hydrogen or respectively optionally substituted alkyl, alkenyl, alkinyl, cycloalkyl, cycloalkylalkyl or heterocyclyl,
- and salts of compounds of the formula (I),

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except for the compounds N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-[2-(2-chloro-ethoxycarbonyl)-4-methyl-thien-3-yl-sulphonyl]-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(4-ethyl-2-i-propoxycarbonyl-thien-3-yl-sulphonyl)-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'(2-allyloxycarbonyl-4-n-butyl-thien-3-yl-sulphonyl)-urea, N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'(2-methoxycarbonyl-4-i-propyl-thien-3-yl-sulphonyl)-urea and N-(4-methoxy-6-methyl-pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-trifluoromethyl-thien-3-yl-sulphonyl)-urea, and also the compounds N-(4-cyclopropyl-6-methoxy-pyrimidin-2-yl)-N'-(4-methyl-2-n-propoxycarbonyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methyl-pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methoxy-pyrimidin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methoxy-1,3,5-triazin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea, N-(4-cyclopropyl-6-methyl-1,3,5-triazin-2-yl)-N'-(2-methoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea and N-(4-cyclopropyl-6-methoxy-1,3,5-triazin-2-yl)-N'-(2-i-propoxycarbonyl-4-methyl-thien-3-yl-sulphonyl)-urea.

2. Compounds of the formula (I) according to Claim 1, characterized in that

A represents nitrogen or a CH grouping,

20 Q represents oxygen or sulphur,

R<sup>1</sup> represents hydrogen, halogen, represents respectively optionally cyano-, halogen- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or dialkylamino having in each case 1 to 4 carbon atoms in the alkyl groups, represents respectively optionally cyano-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted cycloalkyl or cycloalkyloxy having in each case 3 to 6 carbon atoms, or represents respectively optionally cyano-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted phenoxy, oxetanyloxy, furyloxy or tetrahydrofuryloxy,

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- R<sup>2</sup> represents hydrogen or halogen, represents respectively optionally cyano-, halogen- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted alkyl, alkoxy, alkylthio, alkylamino or dialkylamino having in each case 1 to 4 carbon atoms in the alkyl groups, represents respectively optionally cyano-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted cycloalkyl or cycloalkyloxy having in each case 3 to 6 carbon atoms, or represents respectively optionally cyano-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted phenoxy, oxetanyloxy, furyloxy or tetrahydrofuryloxy,
- 5
- R<sup>3</sup> represents hydrogen or optionally C<sub>1</sub>-C<sub>4</sub>-alkoxy-, C<sub>1</sub>-C<sub>4</sub>-alkyl-carbonyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl-substituted alkyl having 1 to 4 carbon atoms,
- 10
- R<sup>4</sup> represents optionally cyano-, halogen- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted alkyl having 1 to 6 carbon atoms and
- R<sup>5</sup> represents hydrogen, represents optionally cyano-, halogen- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted alkyl having 1 to 6 carbon atoms, represents respectively optionally halogen-substituted alkenyl or alkinyl having in each case 2 to 6 carbon atoms, represents respectively optionally cyano-, halogen- or C<sub>1</sub>-C<sub>4</sub>-alkyl-substituted cycloalkyl or cycloalkylalkyl having in each case 3 to 6 carbon atoms in the cycloalkyl groups and optionally 1 to 4 carbon atoms in the alkyl moiety, or represents respectively 15 optionally cyano-, halogen-, C<sub>1</sub>-C<sub>4</sub>-alkyl- or C<sub>1</sub>-C<sub>4</sub>-alkoxy-substituted oxetanyl, furyl or tetrahydrofuryl,
- 20
- and the sodium, potassium, magnesium, calcium, ammonium, C<sub>1</sub>-C<sub>4</sub>-alkyl-ammonium, di-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tri-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tetra-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-ammonium, tri-(C<sub>1</sub>-C<sub>4</sub>-alkyl)-sulphonium, C<sub>5</sub>- or C<sub>6</sub>-cycloalkyl-ammonium and di-(C<sub>1</sub>-C<sub>2</sub>-alkyl)-benzyl-ammonium salts of compounds of the formula (I),
- 25

the same compounds being excluded as in Claim 1.

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3. Compounds of the formula (I) according to Claim 1, characterized in that

A represents nitrogen or a CH grouping,

Q represents oxygen or sulphur,

5 R<sup>1</sup> represents hydrogen, fluorine, chlorine, bromine or respectively optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino,

10 R<sup>2</sup> represents fluorine, chlorine, bromine or respectively optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, n- or i-propoxy, methylthio, ethylthio, n- or i-propylthio, methylamino, ethylamino, n- or i-propylamino, dimethylamino or diethylamino,

15 R<sup>3</sup> represents hydrogen or optionally methoxy-, ethoxy-, n- or i-propoxy-, acetyl-, propionyl, n- or i-butyroyl-, methoxycarbonyl-, ethoxycarbonyl-, n- or i-propoxycarbonyl-substituted methyl or ethyl,

20 R<sup>4</sup> represents respectively optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, and

25 R<sup>5</sup> represents hydrogen, represents respectively optionally cyano-, fluorine-, chlorine-, methoxy-, ethoxy-, n- or i-propoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, represents respectively optionally fluorine-, chlorine- or bromine-substituted propenyl, butenyl, propinyl or butinyl, or represents respectively optionally cyano-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-substituted cyclopropyl,

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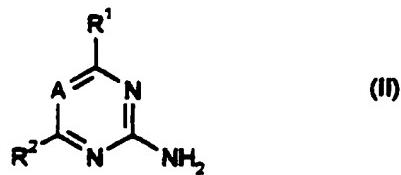
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cyclobutyl, cyclopentyl, cyclohexyl, cyclopropylmethyl,  
 cyclobutylmethyl, cyclopentylmethyl or cyclohexylmethyl,

the same compounds being excluded as in Claim 1.

4. Process for preparing compounds of formula (I) according to Claim 1,  
 5 characterized in that

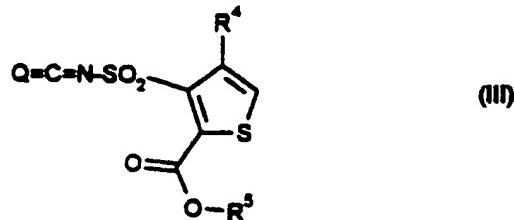
(a) aminoazines of the general formula (II)



in which

A, R¹ and R² are each as defined in Claim 1,

are reacted with thienylsulphonyl iso(thio)cyanates of the general formula (III)



10 in which

Q, R⁴ and R⁵ are each as defined in Claim 1,

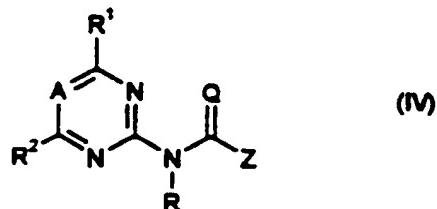
if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

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or

(b) substituted aminoazines of the general formula (IV)



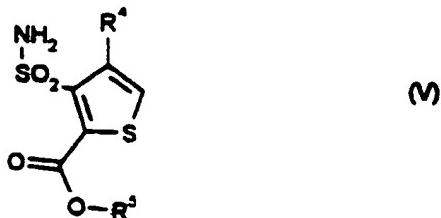
in which

A, Q, R¹ and R² are each as defined in Claim 1,

5 Z represents halogen, alkoxy or aryloxy and

R has the meaning given above for R³ or represents grouping -C(Q)-Z

are reacted with thiophenesulphonamides of the general formula (V)



in which

R⁴ and R⁵ are each as defined above,

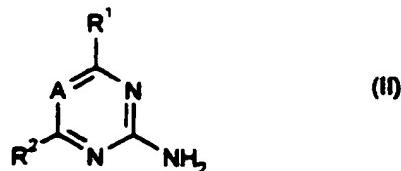
10 if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

or

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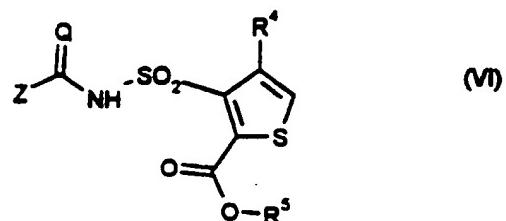
(c) aminoazines of the general formula (II)



in which

A, R<sup>1</sup> and R<sup>2</sup> are each as defined in Claim 1,

are reacted with substituted thiophenesulphonamides of the general formula (VI)



5 in which

Q, R<sup>4</sup> and R<sup>5</sup> are each as defined above and

Z represents halogen, alkoxy or aryloxy,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

10 and the compounds of the formula (I) obtained by processes (a), (b) and (c) are optionally converted into salts by customary methods.

5. Herbicidal compositions, characterized in that they comprise at least one compound of the formula (I) according to Claim 1.

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6. The use of compounds of the general formula (I) according to Claim 1 for controlling undesirable plant growth.
7. Method for controlling weeds, characterized in that compounds of the general formula (I) according to Claim 1 are allowed to act on the weeds or their habitat.  
5
8. Process for preparing herbicidal compositions, characterized in that compounds of the general formula (I) according to Claim 1 are mixed with extenders and/or surfactants.

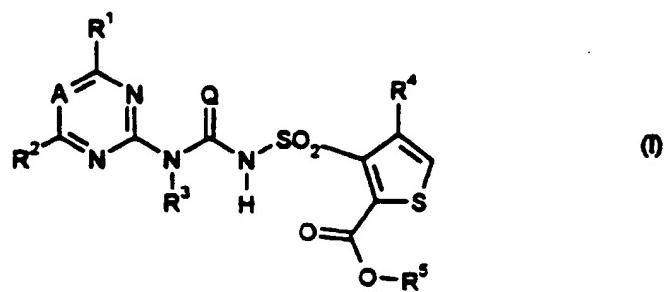
Featherstonhaugh & Co.  
Ottawa, Canada  
Patent Agents

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Substituted thiensulphonyl(thio)ureas

## Abstract

The invention relates to novel substituted thiensulphonyl(thio)ureas of the general formula (I)



5      in which

A      represents nitrogen or a CH grouping,

Q      represents oxygen or sulphur,

10      R<sup>1</sup>    represents hydrogen, halogen or respectively optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, cycloalkyl, cycloalkyloxy, aryloxy or heterocyclyloxy,

R<sup>2</sup>    represents hydrogen, halogen or respectively optionally substituted alkyl, alkoxy, alkylthio, alkylamino, dialkylamino, cycloalkyl, cycloalkyloxy, aryloxy or heterocyclyloxy,

R<sup>3</sup>    represents hydrogen or optionally substituted alkyl,

15      R<sup>4</sup>    represents optionally substituted alkyl and

R<sup>5</sup>    represents hydrogen or respectively optionally substituted alkyl, alkenyl, alkinyl,

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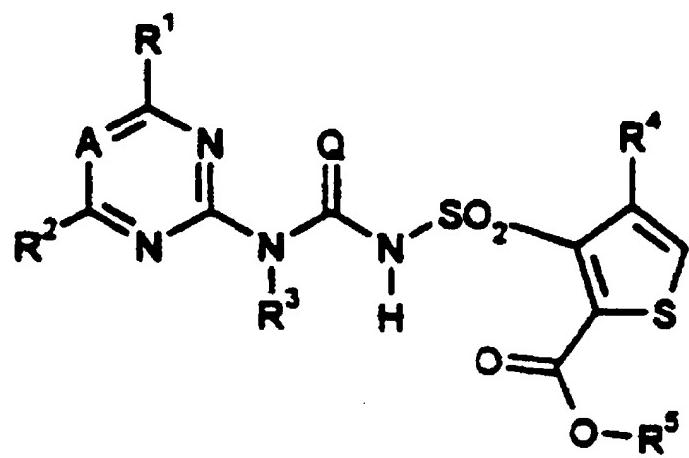
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cycloalkyl, cycloalkylalkyl or heterocyclyl,

and to salts of compounds of the formula (I),

where certain prior-art compounds of this group of substances are excluded,

furthermore to processes for preparing the novel compounds and to their use as  
5 herbicides.



(1)